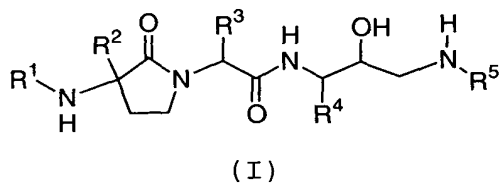


What is claimed is:

1. A compound of Formula (I)

5



or a stereoisomer; or a pharmaceutically acceptable
10 salt thereof, wherein

R¹ is selected from the group consisting of
-C(=O)R^{1a}, -S(=O)R^{1a}, -S(=O)₂R^{1a}, -C(=O)OR^{1a},
-C(=O)NHR^{1a}, and C₁-C₆ alkyl optionally
15 substituted with R^{1b};

R^{1a} is C₁-C₆ alkyl optionally substituted with R^{1b};

R^{1b} is independently selected from the group consisting
20 of halogen, -CF₃, -OCF₃, -CO₂R⁶, -C(=O)NR⁶R⁶,
-NR⁶C(=O)R⁶, -NR⁶R⁶, -NR⁶SO₂R⁶, -C(=O)R⁶, -S(=O)R⁶,
-SO₂R⁶, -SO₂NR⁶R⁶, -SR⁶, -S(C₁-C₄ haloalkyl), -OR⁶,
-O(C₁-C₄ haloalkyl), -(C₃-C₇)cycloalkyl,
-imidazole, -thiazole, -oxazole, -(C₂-C₆)alkenyl,
25 and -(C₂-C₆)alkynyl;

R² is selected from the group consisting of
C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, and

C₃-C₆ cycloalkyl in which each group is optionally substituted with halogen, -CF₃, -OCF₃, -CH₃, -CH₂CH₃, -OCH₃, -OCH₂CH₃, or -(C₃-C₇)cycloalkyl;

R³ is selected from the group consisting of
5 C₁-C₄ alkyl, C₂-C₄ alkenyl, and C₂-C₄ alkynyl optionally substituted with R^{3a}, or phenyl optionally substituted with R^{3b};

R^{3a} is selected from the group consisting of R^{3b}, C₃-C₆
10 cycloalkyl optionally substituted with R^{3b}, phenyl optionally substituted with R^{3b}, and 3,4-methylenedioxyphenyl;

R^{3b} is independently selected at each occurrence from
15 the group consisting of halogen, -NO₂, -CN, -C₁-C₄alkyl, -OH, -OCH₃, -OCH₂CH₃, -CF₃, -OCF₃, -SCF₃, -C(=O)R⁶, -NR⁶C(=O)R⁶, -NR⁶SO₂R⁶, -NR⁶R⁶, -OC(=O)NR⁶R⁶, -NR⁶C(=O)NR⁶R⁶, -C(=O)NR⁶R⁶, -C(=O)OR⁶, -SR⁶, -S(=O)R⁶, -S(=O)₂R⁶, and
20 -S(=O)₂NR⁶R⁶;

R⁴ is selected from the group consisting of C₁-C₄
alkyl, C₂-C₄ alkenyl, and C₂-C₄ alkynyl optionally substituted with R^{4a};

25 R^{4a} is selected from R^{4b}, or phenyl optionally substituted with R^{4b};

R^{4b} is selected from the group consisting of halogen,
30 -NO₂, -CN, -NCS, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CF₃, -OCF₃, -SCF₃, -OH, -OCH₃,

-OCH₂CH₃, -SH, -SCH₃, -SCH₂CH₃, -CO₂H, -CO₂CH₃,
-CO₂CH₂CH₃, -NH₂, -NH(CH₃), -N(CH₃)₂, -C(=O)NH₂,
-C(=O)NH(CH₃), -C(=O)N(CH₃)₂, -C(=O)H, -C(=O)CH₃,
-NHC(=O)CH₃, and -NHSO₂CH₃;

5

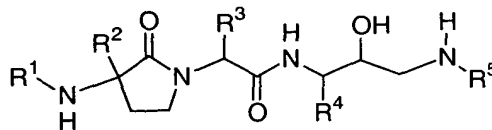
R⁵ is C₁-C₁₀ alkyl optionally substituted with R^{5a};

R^{5a} is selected from the group consisting of R^{5b},
C₃-C₈ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, and
10 phenyl optionally substituted with R^{5b};

R^{5b} is selected from the group consisting of R⁶,
halogen, -CN, -CF₃, -NO₂, -NCS, -OCF₃, -CO₂H,
-C(=O)H, -OR⁶, -NR⁶R⁶, -OC(=O)NR⁶R⁶,
15 -NR⁶C(=O)NR⁶R⁶, -C(=O)NR⁶R⁶, -C(=O)OR⁶, -SR⁶,
-S(=O)R⁶, -S(=O)₂R⁶, and -S(=O)₂NR⁶R⁶; and

R⁶ is independently selected at each occurrence from
the group consisting of hydrogen, C₁-C₆ alkyl and
20 phenyl.

2. The compound of Claim 1 having the Formula (I)



25

(I)

or a stereoisomer; or a pharmaceutically acceptable
salt thereof, wherein

R¹ is selected from the group consisting of -C(=O)R^{1a},
-S(=O)R^{1a}, -S(=O)₂R^{1a}, -C(=O)OR^{1a}, and -C(=O)NHR^{1a};

R^{1a} is C₁-C₆ alkyl optionally substituted with R^{1b};

5

R^{1b} is independently selected from the group consisting
of halogen, -CF₃, -OCF₃, -CO₂R⁶, -C(=O)NR⁶R⁶,
-NR⁶C(=O)R⁶, -NR⁶R⁶, -OR⁶, -(C₃-C₇)cycloalkyl,
-imidazole, -thiazole, -oxazole, -(C₂-C₆)alkenyl,
and -(C₂-C₆)alkynyl;

10

R² is selected from the group consisting of
C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, and
C₃-C₆ cycloalkyl in which each group is optionally
substituted with halogen, -CF₃, -OCF₃, -CH₃,
-CH₂CH₃, -OCH₃, -OCH₂CH₃, or C₃-C₇ cycloalkyl;

15

R³ is C₁-C₄ alkyl optionally substituted with R^{3a};

20 R^{3a} is selected from the group consisting of R^{3b},
C₃-C₆ cycloalkyl optionally substituted with R^{3b},
phenyl optionally substituted with R^{3b}, and
3,4-methylenedioxyphenyl;

25 R^{3b} is independently selected at each occurrence from
the group consisting of halogen, -NO₂, -CN,
-C₁-C₄alkyl, -OH, -OCH₃, -OCH₂CH₃, -CF₃, -OCF₃,
-SCF₃, -C(=O)R⁶, -NR⁶C(=O)R⁶, -NR⁶SO₂R⁶, -NR⁶R⁶,
-OC(=O)NR⁶R⁶, -NR⁶C(=O)NR⁶R⁶, -C(=O)NR⁶R⁶,
-C(=O)OR⁶, -SR⁶, -S(=O)R⁶, -S(=O)₂R⁶, and
-S(=O)₂NR⁶R⁶;

30

R⁴ is C₁-C₄ alkyl optionally substituted with R^{4a};

R^{4a} is R^{4b} or phenyl optionally substituted with R^{4b};

- 5 R^{4b} is selected from the group consisting of halogen,
-NO₂, -CN, -NCS, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃,
-CH(CH₃)₂, -CF₃, -OCF₃, -SCF₃, -OH, -OCH₃,
-OCH₂CH₃, -SH, -SCH₃, -SCH₂CH₃, -CO₂H, -CO₂CH₃,
-CO₂CH₂CH₃, -NH₂, -NH(CH₃), -N(CH₃)₂, -C(=O)NH₂,
10 -C(=O)NH(CH₃), -C(=O)N(CH₃)₂, -C(=O)H, -C(=O)CH₃,
-NHC(=O)CH₃, and -NHSO₂CH₃;

R⁵ is C₁-C₁₀ alkyl optionally substituted with R^{5a};

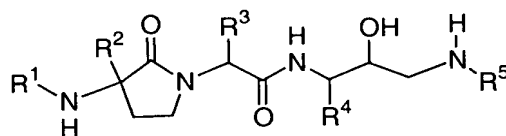
- 15 R^{5a} is selected from the group consisting of R^{5b},
C₃-C₈ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl
optionally substituted with R^{5b}, and phenyl
optionally substituted with R^{5b};

- 20 R^{5b} is selected from the group consisting of R⁶,
halogen, -CN, -CF₃, -NO₂, -NCS, -OCF₃, -CO₂H,
-C(=O)H, -OR⁶, -NR⁶R⁶, -OC(=O)NR⁶R⁶,
-NR⁶C(=O)NR⁶R⁶, -C(=O)NR⁶R⁶, -C(=O)OR⁶, -SR⁶,
-S(=O)R⁶, -S(=O)₂R⁶, and -S(=O)₂NR⁶R⁶; and

25

R⁶ is independently selected at each occurrence from
the group consisting of hydrogen, C₁-C₆ alkyl and
phenyl.

- 30 3. The compound of Claim 2 having the Formula (I)



(I)

or a stereoisomer; or a pharmaceutically acceptable
 5 salt thereof, wherein

R^1 is selected from the group consisting of $-C(=O)R^{1a}$,
 $-S(=O)R^{1a}$, $-S(=O)_2R^{1a}$, $-C(=O)OR^{1a}$, and $-C(=O)NHR^{1a}$;

10 R^{1a} is C_1 - C_6 alkyl optionally substituted with R^{1b} ;

R^{1b} is independently selected from the group consisting
 of halogen, $-CF_3$, $-OCF_3$, $-CO_2R^6$, $-C(=O)NR^6R^6$,
 $-NR^6C(=O)R^6$, $-NR^6R^6$, $-OR^6$, $-(C_3-C_7)$ cycloalkyl,
 15 $-imidazole$, $-thiazole$, $-oxazole$, $-(C_2-C_6)$ alkenyl,
 and $-C_2-C_6$ alkynyl;

R^2 is selected from the group consisting of
 C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, and
 20 C_3 - C_6 cycloalkyl in which each group is optionally
 substituted with halogen, $-CF_3$, $-OCF_3$, $-CH_3$,
 $-CH_2CH_3$, $-OCH_3$, $-OCH_2CH_3$, and C_3 - C_7 cycloalkyl;

R^3 is C_1 - C_4 alkyl optionally substituted with R^{3a} ;
 25

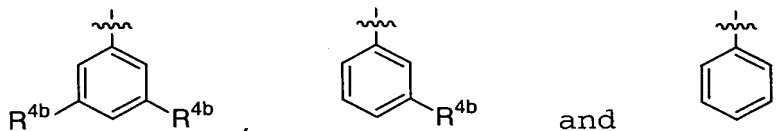
R^{3a} is selected from the group consisting of R^{3b} , C_3 - C_6
 cycloalkyl optionally substituted with R^{3b} , phenyl
 optionally substituted with R^{3b} , and
 3,4-methylenedioxyphenyl;

R^{3b} is independently selected at each occurrence from the group consisting of halogen, $-\text{NO}_2$, $-\text{CN}$, $-(\text{C}_1\text{-C}_4)\text{alkyl}$, $-\text{CF}_3$, $-\text{OH}$, $-\text{OCH}_3$, $-\text{OCH}_2\text{CH}_3$, OCF_3 , $-\text{SCF}_3$, $-\text{C}(=\text{O})\text{R}^6$, $-\text{NR}^6\text{C}(=\text{O})\text{R}^6$, $-\text{NR}^6\text{SO}_2\text{R}^6$, $-\text{NR}^6\text{R}^6$,
 5 $-\text{OC}(=\text{O})\text{NR}^6\text{R}^6$, $-\text{NR}^6\text{C}(=\text{O})\text{NR}^6\text{R}^6$, $-\text{C}(=\text{O})\text{NR}^6\text{R}^6$, $-\text{C}(=\text{O})\text{OR}^6$, $-\text{SR}^6$, $-\text{S}(=\text{O})\text{R}^6$, $-\text{S}(=\text{O})_2\text{R}^6$, and $-\text{S}(=\text{O})_2\text{NR}^6\text{R}^6$;

R^4 is $\text{C}_1\text{-C}_4$ alkyl substituted with R^{4a} ;

10

R^{4a} is selected from the group consisting of



15 R^{4b} is selected from the group consisting of F, Cl, Br, $-\text{CH}_3$, $-\text{CH}_2\text{CH}_3$, $-\text{CF}_3$, $-\text{OCF}_3$, $-\text{SCF}_3$, $-\text{OH}$, $-\text{OCH}_3$, $-\text{SH}$, $-\text{SCH}_3$, $-\text{CO}_2\text{H}$, $-\text{CO}_2\text{CH}_3$, $-\text{NH}_2$, $-\text{NH}(\text{CH}_3)$, $-\text{N}(\text{CH}_3)_2$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{C}(=\text{O})\text{CH}_3$, and $-\text{NHC}(=\text{O})\text{CH}_3$;

20 R^5 is $\text{C}_1\text{-C}_{10}$ alkyl optionally substituted with R^{5a} ;

R^{5a} is selected from the group consisting of R^{5b} ,

$\text{C}_3\text{-C}_8$ cycloalkyl optionally substituted with R^{5b} ,
 25 $\text{C}_2\text{-C}_6$ alkynyl optionally substituted with R^{5b} , and phenyl optionally substituted with R^{5b} ;

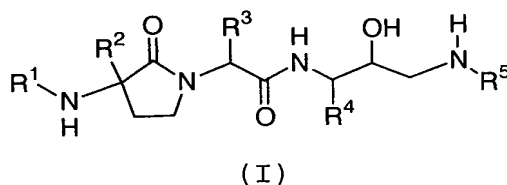
R^{5b} is selected from the group consisting of R^6 , halogen, $-\text{CN}$, $-\text{CF}_3$, $-\text{NO}_2$, $-\text{OCF}_3$, $-\text{CO}_2\text{H}$, $-\text{C}(=\text{O})\text{H}$,

-OR⁶, -NR⁶R⁶, -OC(=O)NR⁶R⁶, -NR⁶C(=O)NR⁶R⁶,
-C(=O)NR⁶R⁶, -C(=O)OR⁶, -SR⁶, -S(=O)R⁶, -S(=O)₂R⁶,
and -S(=O)₂NR⁶R⁶; and

5 R⁶ is independently selected at each occurrence from
the group consisting of hydrogen, C₁-C₆ alkyl and
phenyl.

4. The compound of Claim 3 having the Formula (I)

10



or a stereoisomer; or a pharmaceutically acceptable
15 salt thereof, wherein

R¹ is selected from the group consisting of -C(=O)R^{1a},
-S(=O)R^{1a}, -S(=O)₂R^{1a}, -C(=O)OR^{1a}, and
-C(=O)NHR^{1a};

20

R^{1a} is C₁-C₆ alkyl optionally substituted with R^{1b};

R^{1b} is independently selected from the group consisting
of halogen, -CF₃, -OCF₃, -NR⁶R⁶, -OR⁶,
25 -(C₃-C₇)cycloalkyl, -imidazole, thiazole, and
oxazole;

R² is selected from the group consisting of C₁-C₄ alkyl
optionally substituted with halogen, -CF₃, -OCH₃,
30 -OCH₂CH₃, or C₃-C₇ cycloalkyl;

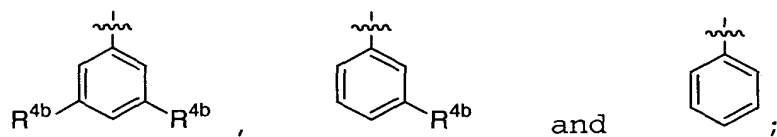
R³ is C₁-C₄ alkyl optionally substituted with R^{3a};

5 R^{3a} is selected from the group consisting of phenyl
optionally substituted with R^{3b}, and
3,4-methylenedioxyphenyl;

R^{3b} is independently selected at each occurrence from
the group consisting of F, Cl, R⁶, -CF₃, OH,
10 -OCH₃, -OCH₂CH₃, and -NR⁶R⁶;

R⁴ is C₁-C₄ alkyl substituted with R^{4a};

15 R^{4a} is selected from the group consisting of



R^{4b} is selected from the group consisting of F, Cl, Br,
-CH₃, -CF₃, -OH, -OCH₃, -NH₂, -NH(CH₃), and
20 -N(CH₃)₂;

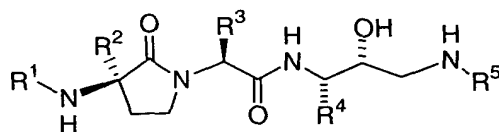
R⁵ is C₁-C₂ alkyl optionally substituted with R^{5a};

25 R^{5a} is selected from the group consisting of R^{5b},
C₃-C₄ cycloalkyl optionally substituted with R^{5b},
alkynyl, and phenyl optionally substituted with
R^{5b};

R^{5b} is selected from the group consisting of R⁶, F, Cl, -CN, -OR⁶, and -NR⁶R⁶; and

R⁶ is independently selected at each occurrence from the group consisting of hydrogen, C₁-C₆ alkyl and phenyl.

5. The stereoisomer compound of Claim 4 having the Formula (Ia)



(Ia)

or a pharmaceutically acceptable salt thereof.

15

6. The compound of Claim 1 of selected from the group consisting of

(2S)-2-(3(S)-Acetylamino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-(3,5-difluoro-benzyl)-2-hydroxy-3-(3-methoxy-benzylamino)-propyl]-4-phenyl-butylamide;

(2S)-2-(3(S)-Acetylamino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzylamino)-propyl]-4-phenyl-butylamide;

25 (2S)-2-(3(S)-Acetylamino-3-(cyclopropylmethyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzylamino)-propyl]-4-phenyl-butylamide;

(2S)-2-(3(S)-(2(S)-amino-5-carboxypentanoylamino)-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzylamino)-propyl]-4-phenyl-butylamide;

(2S)-2-(3(S)-(2-methoxy-acetyl-amino)-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
amide;

5 (2S)-2-(3(S)-propionyl-amino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
amide;

(2S)-2-(3(S)-ethoxycarbonyl-amino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
10 amide;

(2S)-2-(3(S)-methoxycarbonyl-amino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
amide;

(2S)-2-(3(S)-ethylureido-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
15 amide;

(2S)-2-(3(S)-(3-hydroxypropionyl-amino)-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
amide;

20 but-
amide;

(2S)-2-(3(S)-(4-hydroxybutyryl-amino)-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
amide;

25 (2S)-2-(3(S)-acetyl-amino-3-(isobutyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-methoxy-benzyl-amino)-propyl]-4-phenyl-but-
amide;

(2S)-2-(3(S)-acetyl-amino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-chloro-benzyl-amino)-propyl]-4-phenyl-but-
30 amide;

(2S)-2-(3(S)-acetyl-amino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(propargyl-amino)-propyl]-4-phenyl-but-
amide;

- (2S)-2-(3(S)-acetylamino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3,5-difluorobenzylamino)-propyl]-4-phenyl-butyramide;
- 5 (2S)-2-(3(S)-acetylamino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-((3-trifluoromethylbenzyl)amino)-propyl]-4-phenyl-butyramide;
- 2-(3(S)-Acetylamino-3(S)-isobutyl-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-benzylamino-
- 10 propyl]-4-phenyl-butyramide;
- (2S)-2-(3(S)-acetylamino-3-((S)-sec-butyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-(3-fluoro,5-(trifluoromethyl)benzylamino)-propyl]-4-phenyl-butyramide;
- 15 2-(3(S)-Acetylamino-3(S)-isobutyl-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-benzyl-3-(2-cyano-ethylamino)-2-hydroxy-propyl]-4-phenyl-butyramide;
- (2S)-2-(3(S)-acetylamino-3-(cyclopropylmethyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-(3,5-difluorobenzyl)-2-
- 20 hydroxy-3-(3-methoxybenzylamino)-propyl]-4-(2-methoxyphenyl)-butyramide;
- (2S)-2-(3(S)-acetylamino-3-(cyclopropylmethyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(3-methoxybenzylamino)-propyl]-4-(3,4-
- 25 methylenedioxyphenyl)-butyramide;
- (2S)-2-(3(S)-acetylamino-3-(cyclopropylmethyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(3-methoxybenzylamino)-propyl]-4-(3-fluorophenyl)-butyramide;
- 30 (2S)-2-(3(S)-acetylamino-3-(cyclopropylmethyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(3-methoxybenzylamino)-propyl]-4-(4-fluorophenyl)-butyramide; and

(2S)-2-(3(S)-acetylamino-3-(cyclopropylmethyl)-2-oxo-pyrrolidin-1-yl)-N-[(1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(3-methoxybenzylamino)-propyl]-4-(3-methoxyphenyl)-butyramide;

5 or a pharmaceutically acceptable salt thereof.

7. A pharmaceutical composition for the treatment of disorders responsive to the inhibition of β -amyloid peptide production comprising a therapeutically effective amount of a compound of claim 1 in association with a pharmaceutically acceptable carrier or diluent.

8. A method for the treatment of disorders responsive to the inhibition of β -amyloid peptide production in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1.

20 9. A method of of claim 8 wherein said disorder is Alzheimer's Disease, cerebral amyloid angiopathy and Down's Syndrome.